EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L2	745	(544/264,265,267,271,272,276,277 OR 546/113,118 OR 548/483,484,485, 486,492,505,509).ccls. AND (((ethylene ADJ carbonate) or (propylene adj carbonate) or (butylene adj carbonate) or ethylenecarbonate or propylenecarbonate or butylenecarbonate) OR (dimethylacetamide or DMAC))	US-PGPUB; USPAT; USOCR	OR	OFF	2007/06/08 11:07

12a766

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

Requestor's Name: BERCH Serial Number: PCT/US02/25540

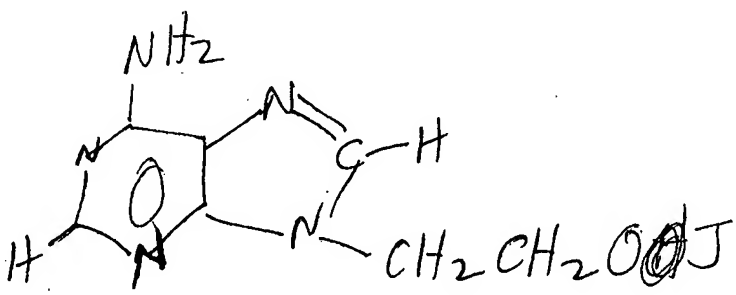
Date: 7/9/04 Phone: 571-272-0663 Art Unit: 1624

Office Rem 5C01 Mailbox 5C18

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

10523938



A: J = H

B: J = $-A_n-\overset{O}{\overset{\|}{P}}(-O)-O$

n = 1-8

A = C/O

CAS react: A → B

Refs must be 2002 or earlier

If no hits, try again with n=0

15:07

1116

=> fil casreac
COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 0.42 | 1327.86

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION
CA SUBSCRIBER PRICE | 0.00 | -16.65

FILE 'CASREACT' ENTERED AT 15:01:27 ON 09 JUL 2004

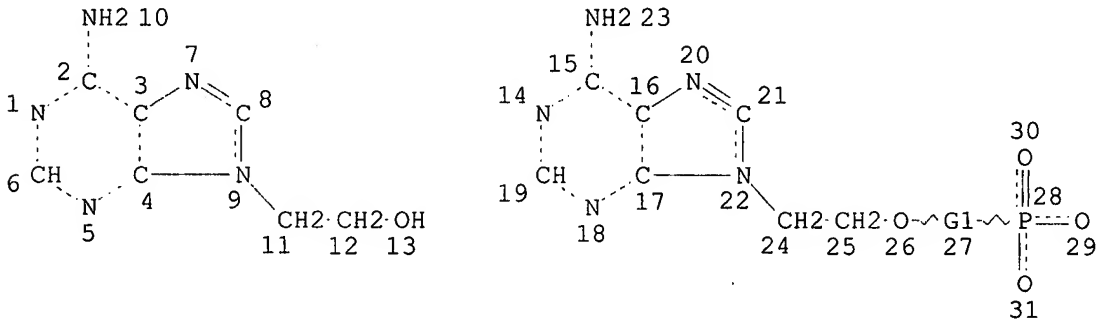
FILE CONTENT:1840 - 4 Jul 2004 VOL 141 ISS 1

****
*
* CASREACT now has more than 8 million reactions
*
****

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> => d l5 que stat;d 1-2 fhit cbib abs
L4 STR



REP G1=(0-8) A
NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 31

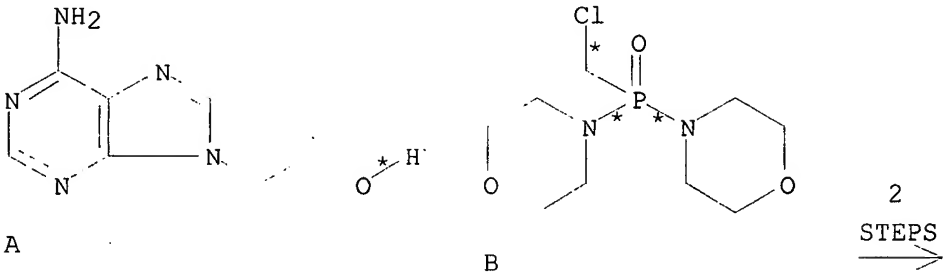
STEREO ATTRIBUTES: NONE
L5 2 SEA FILE=CASREACT SSS FUL L4 (9 REACTIONS)

100.0% DONE 1186 VERIFIED 9 HIT RXNS 2 DOCS
SEARCH TIME: 00.00.01

Searched by: Mary Hale 571-272-2507 REM 1D86

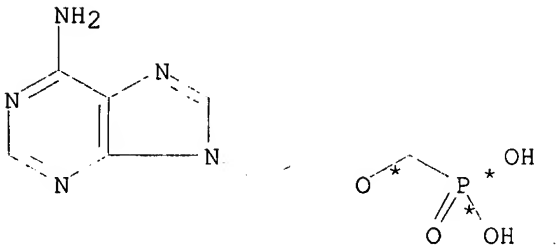
L5 ANSWER 1 OF 2 CASREACT COPYRIGHT 2004 ACS on STN

RX(3) OF 3 COMPOSED OF RX(1), RX(2)
RX(3) **A** + B ===> **F**



A B

2 STEPS



F

RX(1) RCT A **707-99-3**

STAGE(1)
RGT D 7646-69-7 NaH
SOL 68-12-2 DMF

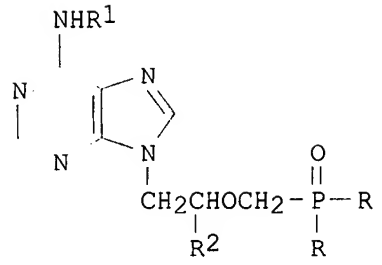
STAGE(2)
RCT B 7355-28-4
PRO C 322729-88-4

RX(2) RCT C 322729-88-4
RGT G 7647-01-0 HCl
PRO F **106941-25-7**
SOL 7732-18-5 Water, 68-12-2 DMF

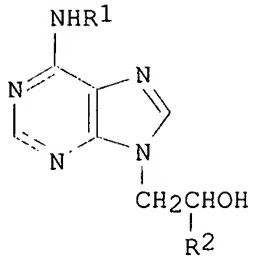
134:147710 Method for preparation of 9-(2-phosphonylmethoxyalkyl)adenine having antiviral activity. Sato, Tadashi (Kohjin Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 2001031691 A2 20010206, 4 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1999-210487 19990726. PRIORITY: JP 1999-135117 19990517.

GI

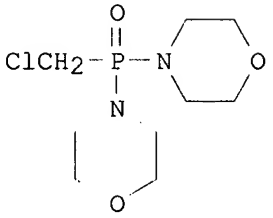
Searched by: Mary Hale 571-272-2507 REM 1D86



I



II

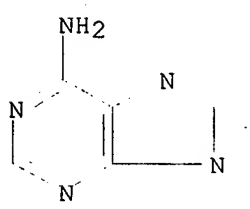


III

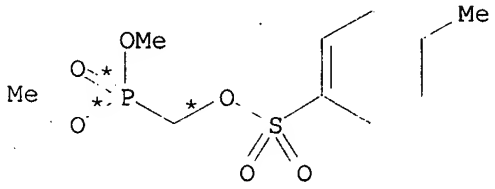
AB The title compds. (I; R = OH, R1 = H; R2 = H, Me), which are useful as antiviral agents, are prepared by etherification of 9-(2-hydroxyalkyl)adenine derivative (II; R1 = H, benzoyl; R2 = same as above) with 4,4'-[(chloromethyl)phosphinylidene]bismorpholine (III) and hydrolysis of the resulting dimorpholidite I (R = morpholino; R1 = H, benzoyl; R2 = same as above). This process does not use specialized reagents in a large quantity and gives the intermediate dimorpholidite in good yield which is hydrolyzed under mild conditions and converted into the desired compds. in good yields. Thus, 0.72 g 9-(2-hydroxyethyl)adenine was dissolved in 20 mL DMF with heating, cooled, treated with 0.48 g NaH in oil, and stirred at room temperature for 30 min, followed by adding 1.08 g III, and the resulting mixture was stirred at 80° for 2 h to give, after workup and crystallization from CHCl3-benzene-Et2O, 1.1 g I (R = morpholino, R1 = R2 = H). The latter compound (0.5 g) was added to DMF-concentrated HCl-H2O (5:1:2 mL), stirred at room temperature for 1 h, treated with Diaion WA-30 to remove HCl, diluted with H2O, adjusted to pH 10 by adding aqueous NH3, and applied on a column of Diaion SA11B (acid form), washing the column with H2O and eluting it with AcOH to give 9-(2-phosphonylmethoxyethyl)adenine.

L5 ANSWER 2 OF 2 CASREACT COPYRIGHT 2004 ACS on STN

RX(3) OF 92 **A** + **F** ===> **G**...



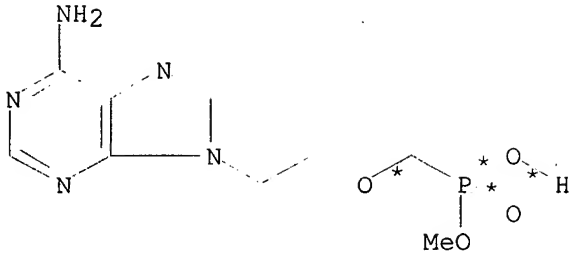
A



F

Searched by: Mary Hale 571-272-2507 REM 1D86

(3) →

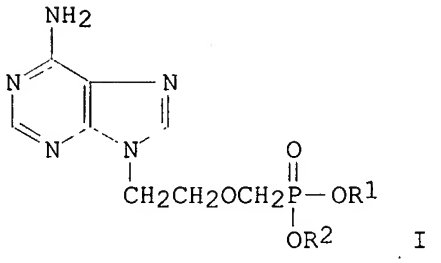


G
YIELD 26%

RX(3) RCT A **707-99-3**, F 80792-13-8
RGT H 7646-69-7 NaH
PRO G **107021-27-2**
SOL 68-12-2 DMF

109:129559 Acyclic nucleotide analogs. Part III. Synthesis of 9-(2-phosphonylmethoxyethyl)adenine and related compounds. Holy, Antonin; Rosenberg, Ivan (Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10/6, Czech.). Collection of Czechoslovak Chemical Communications, 52(11), 2801-9 (English) 1987. CODEN: CCCCAK. ISSN: 0366-547X.

GI



I

AB Adenine was treated with NaH in DMF and then with RCH2CH2OCH2P(O)(OEt)2 (R = Cl, Br, p-MeC6H4SO3), to give 46-64% acyclic nucleotide analog I (R1 = R2 = Et), which was treated with Me3SiBr in MeCN to give 73% title compound [I; R1 = R2 = H (II)]. II was converted to the diphosphate I [R1 = P(O)(OH)2, R2 = H] and triphosphate I [R1 = P(O)(OH)OP(O)(OH)2, R2 = H] via phosphorylation of its morpholide.

=> del his y

=>

Searched by: Mary Hale 571-272-2507 REM 1D86

17263

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center 10523932

Requester's Full Name: Berch Examiner #: Berch Date: 10/4
Art Unit: 1624 Phone Number 30 84718 Serial Number: 02/25540
Mail Box and Bldg/Room Location: 4D15 4E12 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract

Title of Invention: _____

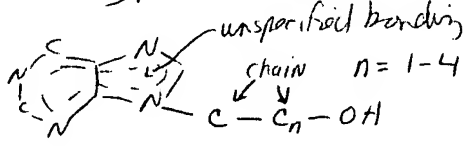
Inventors (please provide full names): _____

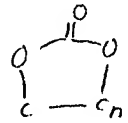
_____

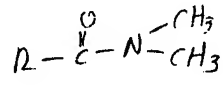
Earliest Priority Filing Date: _____

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

CASREACT

(A) 

(B) 

(C) 

A & B are reactant = product
(B) Reactant
(C) – Solvent

POINT OF CONTACT:
PAUL SCHULWITZ
TECHNICAL INFO. SPECIALIST
CM1 6B06 TEL. (703) 305-1954

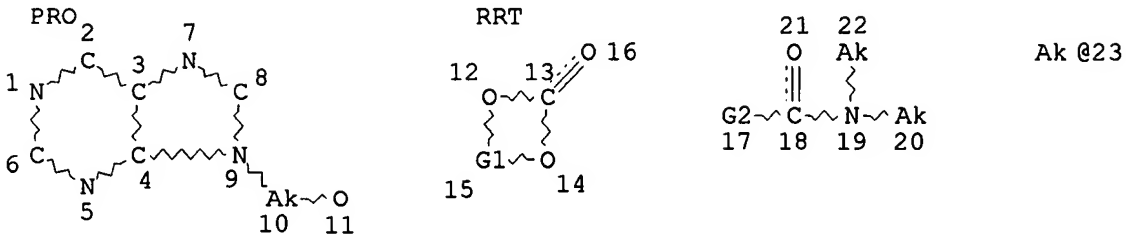
****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: _____	NA Sequence (#) _____	STN 594.85
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) 3	Questel/Orbit _____
Date Searcher Picked Up: 10/7	Bibliographic _____	Dr.Link _____
Date Completed: 10/7	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: 10	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: 15	Other _____	Other (specify) _____

PTO-1590 (8-01)

CASREACT

=> d que
L17 STR



REP G1=(1-5) C
VAR G2=H/23
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 10
CONNECT IS E1 RC AT 11
CONNECT IS E1 RC AT 20
CONNECT IS E1 RC AT 22
CONNECT IS E1 RC AT 23
DEFAULT MLEVEL IS ATOM
GGCAT IS LOC AT 20
GGCAT IS LOC AT 22
GGCAT IS LOC AT 23
DEFAULT ECLEVEL IS LIMITED

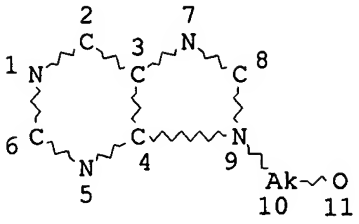
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE
L19 0 SEA FILE=CASREACT SSS FUL L17 (0 REACTIONS)

No hits for Reactant + Product + Solvent
- probable that Structure of solvent not indexed.

HCAPLUS


```
=> d que
L1                STR
```




```
NODE ATTRIBUTES:
CONNECT IS E2  RC AT  10
CONNECT IS E1  RC AT  11
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  11

STEREO ATTRIBUTES: NONE
L3           706 SEA FILE=REGISTRY SSS FUL L1
L4               STR
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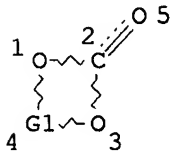


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REP G1=(1-5) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   5

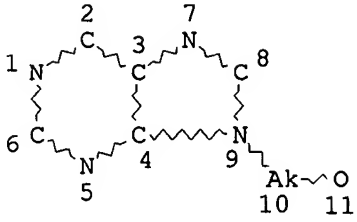
STEREO ATTRIBUTES: NONE
L6         11907 SEA FILE=REGISTRY SSS FUL L4
L7            18 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L3(L)PREP/RL AND L6(L)(RGT OR
                 RCT OR RACT)/RL
L14         2668 SEA FILE=REGISTRY ABB=ON  PLU=ON  (127-19-5/CRN OR 68-12-2/CRN)

L16            0 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L14 AND L7
```

18 hits for Reactant + Product.

Zero hits for Reactant + Product + component Reg. Nos. for DMA or DMF – possible that solvent was not indexed in the 18 hits.

```
=> d que l7
L1                STR
```



Product

```
NODE ATTRIBUTES:
CONNECT IS E2  RC AT  10
CONNECT IS E1  RC AT  11
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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Solvent ignored

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  11

STEREO ATTRIBUTES: NONE
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L4               STR
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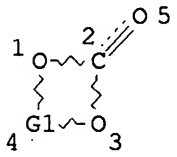

Reactant

```
REP G1=(1-5) C
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   5

STEREO ATTRIBUTES: NONE
L6         11907 SEA FILE=REGISTRY SSS FUL L4
L7            18 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L3(L)PREP/RL AND L6(L)(RGT OR
                 RCT OR RACT)/RL


=> d ibib ab hitstr  1-18 l7

L7   ANSWER 1 OF 18  HCAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:        2002:90059  HCAPLUS
DOCUMENT NUMBER:         136:118705
TITLE:                   Preparation of prodrugs amino acid methoxyphosphonate
                         acyclic nucleotide analogs as antiviral or antitumor
                         agents and their use in therapy and prophylaxis
INVENTOR(S):             Becker, Mark W.; Chapman, Harlan H.; Cihlar, Tomas;
```

Eisenberg, Eugene J.; He, Gong-Xin; Kernan, Michael R.; Lee, William A.; Prisbe, Ernest J.; Rohloff, John C.; Sparacino, Mark L.
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

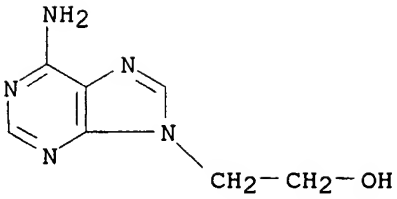
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008241	A2	20020131	WO 2001-US23104	20010720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002119443	A1	20020829	US 2001-909560	20010720

PRIORITY APPLN. INFO.: US 2000-220021P P 20000721
OTHER SOURCE(S): MARPAT 136:118705

AB A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogs is to identify prodrugs selectively targeting desired tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compds. I, wherein R1 is amino, alkylamino, oxo, dialkylamino; R2 is amino, H; R3 is H, Me; R4 is Me, amino acid residue; R5, R6 are independently H, alkyl, alkenyl, alkynyl, aryl or arylalkyl which is substituted with from 1 to 3 substituents selected from alkylamino, alkylaminoalkyl, dialkylaminoalkyl, dialkylamino, hydroxy, oxo, halo, amino, alkylthio, alkoxy, and their use in therapy and prophylaxis. Also provided is an improved method for the use of magnesium alkoxide for the prepn. of starting materials and compds. for use herein. Thus, fumarate salt of I (R1 = NH2, R2 = H, R3 = R4 = Me, R5 = Ph, R6 = iPr) was prepd. and tested in vitro and in dogs as antiviral agent.

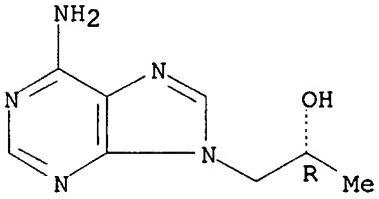
IT **707-99-3P 14047-28-0P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 707-99-3 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

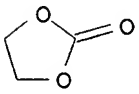


RN 14047-28-0 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

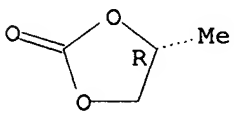


IT **96-49-1**, Ethylene carbonate **16606-55-6**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(prepn. of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)
RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



RN 16606-55-6 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-methyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L7 ANSWER 2 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:573265 HCAPLUS
DOCUMENT NUMBER: 135:137342
TITLE: Preparation of 9-(2-hydroxyalkyl)purines or 1-(2-hydroxyalkyl)pyrimidines
INVENTOR(S): Yaegashi, Keisuke; Furukawa, Yoshiaki; Yoshimoto, Hiroshi

PATENT ASSIGNEE(S): Daiso Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001213867	A2	20010807	JP 2000-18777	20000127

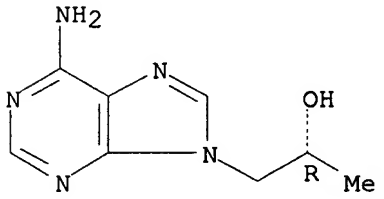
OTHER SOURCE(S): CASREACT 135:137342; MARPAT 135:137342

AB Title compds. I [Y = CR1R2CR3R4OH; R1-R4 = H, (un)substituted alkyl, aralkyl, aryl, alkoxy; X1-X3 = H, halo, amino, OH, alkyl, alkoxy, alkylthio, etc.] or II (Y, R1-R4, = same as above; X4-X6 = H, halo, amino, OH, alkyl, alkoxy, alkylthio, etc.) are prepd. by reaction of 1,3-dioxolan-2-ones III (R1-R4 = same as above) with purines I (Y = H; X1-X3 = same as above) or pyrimidines II (Y = H; X4-X6 = same as above) in the presence of F salts. Adenine was reacted with (R)-propylene carbonate in the presence of CsF in DMF at 140.degree. for 9 h to give 92% (R)-9-(2-hydroxypropyl)adenine.

IT **14047-28-0P 160616-34-2P 352211-52-0P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of (hydroxyalkyl)purines or (hydroxyalkyl)pyrimidines by condensation of purines or pyrimidines with dioxolanones)

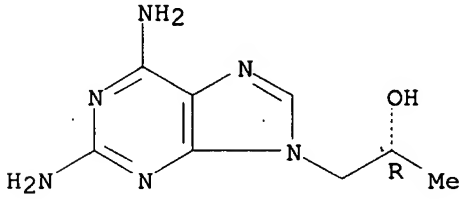
RN 14047-28-0 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160616-34-2 HCAPLUS
CN 9H-Purine-9-ethanol, 2,6-diamino-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

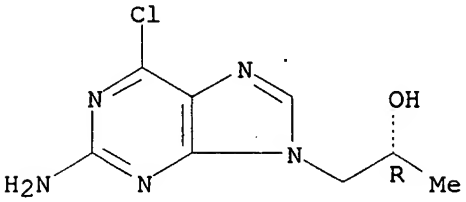
Absolute stereochemistry.



RN 352211-52-0 HCAPLUS

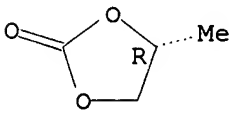
CN 9H-Purine-9-ethanol, 2-amino-6-chloro-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



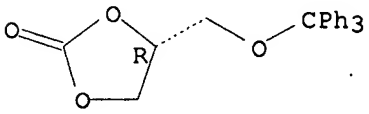
IT **16606-55-6 17327-06-9 22147-28-0**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(prepn. of (hydroxyalkyl)purines or (hydroxyalkyl)pyrimidines by condensation of purines or pyrimidines with dioxolanones)
RN 16606-55-6 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-methyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



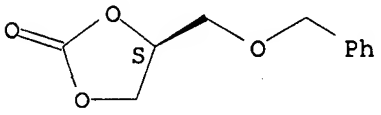
RN 17327-06-9 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-[(triphenylmethoxy)methyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 22147-28-0 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-[(phenylmethoxy)methyl]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:458346 HCAPLUS
DOCUMENT NUMBER: 133:220058

TITLE: Synthesis and uptake of nitric oxide-releasing drugs by the P2 nucleoside transporter in Trypanosoma equiperdum

AUTHOR(S): Soulere, Laurent; Hoffmann, Pascal; Bringaud, Frederic; Perie, Jacques

CORPORATE SOURCE: Laboratoire de Synthese et Physico-Chimie de Molecules d'Interet Biologique- ESA-CNRS 5068. Universite de Toulouse III, Toulouse, 31062, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(12), 1347-1350
CODEN: BMCLE8; ISSN: 0960-894X

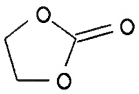
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

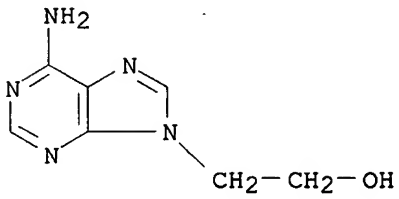
LANGUAGE: English

AB A series of S-nitrosothiols, structurally related to the NO.cntdot.-donor S-nitroso-N-acetylpenicillamine, and of org. nitrate esters that contain amidine groups which specify a recognition via the trypanosomal purine transporter P2, were synthesized and tested for their ability to inhibit the uptake of [2-3H]adenosine on Trypanosoma equiperdum. All of the compds. which possess a melaninyl-, adenine- or adenosine-based recognition motif strongly inhibited the uptake of adenosine by the transporter P2, whereas the benzamidine and the furoxan were poor substrates. All of the nitric oxide-releasing drugs except for one showed weak in vitro antiparasitic activity against T. equiperdum at relevant concns.

IT **96-49-1**, Ethylene carbonate
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(synthesis and uptake of nitric oxide-releasing drugs by P2 nucleoside transporter in Trypanosoma equiperdum in relation to antiparasitic activity)
RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



IT **707-99-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(synthesis and uptake of nitric oxide-releasing drugs by P2 nucleoside transporter in Trypanosoma equiperdum in relation to antiparasitic activity)
RN 707-99-3 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:420970 HCAPLUS
DOCUMENT NUMBER: 133:63954
TITLE: Pharmaceutical formulations
INVENTOR(S): Dahl, Terrence C.; Yuan, Lung-chi J.
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

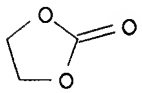
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035460	A2	20000622	WO 1999-US29626	19991214
WO 2000035460	A3	20001109		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140114	A2	20011010	EP 1999-967310	19991214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916820	A	20011030	BR 1999-16820	19991214
JP 2002532429	T2	20021002	JP 2000-587780	19991214

PRIORITY APPLN. INFO.:
US 1998-112403P P 19981215
US 1998-211613 A1 19981215
WO 1999-US29626 W 19991214

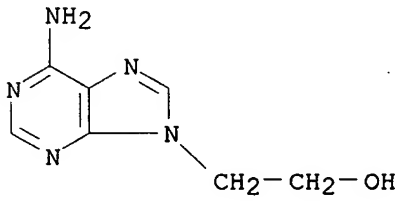
AB The invention provides compns. comprising the nucleotide analog 9-[2-[[bis[(pivaloyloxy)methyl]phosphono]methoxy]ethyl]adenine (I) and an alk. excipient with or without L-carnitine-L-tartrate. The compns. are more stable than those previously described. The invention also provides methods to make the compns. and their intermediates. Thus, a tablet formulation contained I 20.0, pregelatinized starch 5.0, Croscarmelose sodium 6.0, lactose monohydrate 58.0, MgCO3 4.0, talc 6.0, and Mg stearate 1.0% by wt.

IT **96-49-1**, Ethylene carbonate
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(pharmaceutical formulations contg. bis(pivaloyloxy)methylphosphonomethoxyethyladenine)

RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



IT **707-99-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(pharmaceutical formulations contg. bis(pivaloyloxy)methylphosphonomethoxyethyladenine)
RN 707-99-3 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

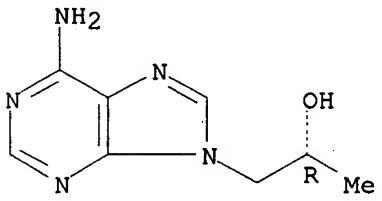


L7 ANSWER 5 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:96249 HCAPLUS
DOCUMENT NUMBER: 130:158419
TITLE: Antiviral nucleotide analog composition and synthesis method
INVENTOR(S): Munger, John D., Jr.; Rohloff, John C.; Schultze, Lisa M.
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905150	A1	19990204	WO 1998-US15254	19980723
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5935946	A	19990810	US 1997-900752	19970725
AU 9885827	A1	19990216	AU 1998-85827	19980723
EP 998480	A1	20000510	EP 1998-937022	19980723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9811045	A	20000822	BR 1998-11045	19980723
JP 2002511098	T2	20020409	JP 1999-510067	19980723
EP 1243593	A2	20020925	EP 2002-10677	19980723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, AL				
EP 1243590	A2	20020925	EP 2002-10678	19980723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

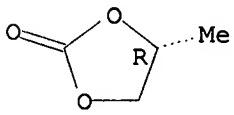
IE, SI, LT, LV, FI, RO, CY, AL
PRIORITY APPLN. INFO.: US 1997-53777P P 19970725
US 1997-900752 A1 19970725
EP 1998-937022 A3 19980723
WO 1998-US15254 W 19980723
OTHER SOURCE(S): MARPAT 130:158419
AB The invention provides a compn. comprising 9-[2-(R)-[[Bis[[(isopropoxycarbonyl)oxy]methoxy]phosphinoyl]methoxy]propyl]adenine [bis(POC)PMPA] and fumaric acid (1:1) for oral delivery of (R)-9-[2-(phosphonomethoxy)propyl]adenine (PMPA). The compn. is useful as an intermediate for the prepn. of antiviral compds., or is useful for administration to patients for antiviral therapy or prophylaxis. The compn. is particularly useful when administered orally. The invention also provides methods to make PMPA and intermediates in PMPA synthesis. Embodiments include lithium t-butoxide, 9-(2-hydroxypropyl)adenine and di-Et p-toluenesulfonylmethoxy-phosphonate in an org. solvent such as DMF. The reaction results in di-Et PMPA prepns. contg. an improved byproduct profile compared to di-Et PMPA made by prior methods. "Bis(POC)PMPA" fumarate, or BPPF, was prepd. in 7 steps via reaction of (R)-4-methyl-1,3-dioxolan-2-one with adenine and etherification of the product with (EtO)2P(O)CH2-OTs.
IT **14047-28-0P 16606-55-6P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); **PREP (Preparation)**; **RACT (Reactant or reagent)**
(prepn. of (phosphonomethoxypropyl)adenine analogs for oral drug delivery)
RN 14047-28-0 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 16606-55-6 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-methyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:612106 HCAPLUS

DOCUMENT NUMBER: 129:260281
TITLE: Preparation of novel purines for use as inhibitors of fructose-1,6-bisphosphatase
INVENTOR(S): Dang, Qun; Erion, Mark D.; Reddy, M. Rami; Robinsion, Edward D.; Kasibhatla, Srinivas Rao; Reddy, K. Raja
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

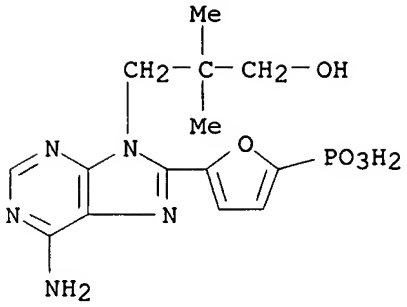
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9839344	A1	19980911	WO 1998-US4502	19980306
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9864520	A1	19980922	AU 1998-64520	19980306
US 6284748	B1	20010904	US 1998-36327	19980306

PRIORITY APPLN. INFO.: US 1997-40623P P 19970307
WO 1998-US4502 W 19980306

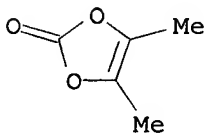
AB Purines I [A = H, amino, sulfonylamino, alkoxy, alkylthio, halogen, alkyl, carbamoyl, guanidine, amidine, perhaloalkyl; E = H, halogen, alkylthio, perhaloalkyl, alkyl, alkenyl, alkynyl, alkoxy, CN, amino; X = alkylamino, alkyl, alkenyl, alkynyl, alkyl(carboxyl), alkyl(hydroxy), alkyl(phosphonate), alkyl(sulfonate), aryl, alkylaminoalkyl, alkoxyalkyl, alkylthioalkyl, alkylthio, alicyclic, 1,1-dihaloalkyl, carbonylalkyl, aminocarbonylamino, alkylaminocarbonyl, alkylcarbonylamino, aralkyl, and alkylaryl; Y = H, alkyl, alkenyl, alkynyl, aryl, alicyclic, aralkyl, aryloxyalkyl, alkoxyalkyl, acyl, sulfonyl, carboxyl, carbamoyl, amino, alkoxy; XY = cyclic group including cyclic alkyl, heterocyclic, and aryl; R1 = H, alkyl, aryl, alicyclic; R1R1 = cyclic group including cyclic alkyl, heterocyclic] were prepd. for use as fructose-1,6-bisphosphatase (FBPase) inhibitors for treatment of carbohydrate metab. disorders. Thus, purine II starting from 5-amino-4,6-dichloropyrimidine, phenethylamine, and 2-furaldehyde. The prepd. compds. were tested for a variety of biol. activities including inhibition of FBPase and activity toward AMP binding enzymes such as adenosine kinase.

IT **213247-52-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of novel purines for use as inhibitors of fructose-1,6-bisphosphatase for treatment of carbohydrate metab. disorders)

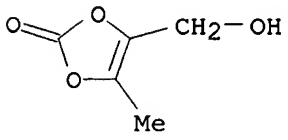
RN 213247-52-0 HCAPLUS
CN Phosphonic acid, [5-[6-amino-9-(3-hydroxy-2,2-dimethylpropyl)-9H-purin-8-yl]-2-furanyl]- (9CI) (CA INDEX NAME)



IT **37830-90-3**
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(prepn. of novel purines for use as inhibitors of fructose-1,6-bisphosphatase for treatment of carbohydrate metab. disorders)
RN 37830-90-3 HCAPLUS
CN 1,3-Dioxol-2-one, 4,5-dimethyl- (9CI) (CA INDEX NAME)



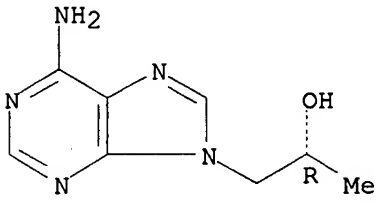
IT **91526-18-0P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(prepn. of novel purines for use as inhibitors of fructose-1,6-bisphosphatase for treatment of carbohydrate metab. disorders)
RN 91526-18-0 HCAPLUS
CN 1,3-Dioxol-2-one, 4-(hydroxymethyl)-5-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:225290 HCAPLUS
DOCUMENT NUMBER: 128:270818
TITLE: Practical synthesis of the anti-HIV drug, PMPA
AUTHOR(S): Schultze, Lisa M.; Chapman, Harlan H.; Dubree, Nathan J. P.; Jones, Robert J.; Kent, Kenneth M.; Lee, Thomas T.; Louie, Michael S.; Postich, Michael J.; Prisbe, Ernest J.; Rohloff, John C.; Yu, Richard H.
CORPORATE SOURCE: Process Chemistry and Analytical Chemistry, Gilead Sciences, Foster City, CA, 94404, USA
SOURCE: Tetrahedron Letters (1998), 39(14), 1853-1856
CODEN: TELEAY; ISSN: 0040-4039

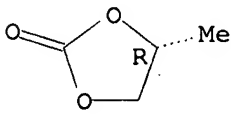
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The anti-HIV nucleotide analog PMPA can be prepd. on a kilogram-scale by a three step sequence: i) condensation of adenine with (R)-propylene carbonate, ii) alkylation of the resulting (R)-9-(2-hydroxypropyl)adenine with di-Et p-toluenesulfonyloxymethanephosphonate using lithium tert-butoxide and iii) cleavage of the phosphonate ester functionalities with bromotrimethylsilane.
IT **14047-28-0P 16606-55-6P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); **PREP (Preparation)**; **RACT (Reactant or reagent)**
(practical synthesis of the anti-HIV drug, PMPA)
RN 14047-28-0 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 16606-55-6 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-methyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L7 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:539978 HCAPLUS
DOCUMENT NUMBER: 125:276420
TITLE: Acyclic analogs of purine nucleosides: one- and two-dimensional 1H and 13C NMR evidence for N-9 and N-7 regioisomers
AUTHOR(S): Raic, S.; Pongracic, M.; Vorkapic-Furac, J.; Vikic-Topic, D.; Mintas, M.
CORPORATE SOURCE: Dep. Organic Chem., Fac. Chem. Eng., Tech., Univ. Zagreb, Croatia
SOURCE: Spectroscopy Letters (1996), 29(6), 1141-1155
CODEN: SPLEBX; ISSN: 0038-7010
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
AB It has been established by means of 1- and 2-dimensional 1H and 13C NMR spectroscopy that adenine acyclonucleosides prepd. by reaction of adenine

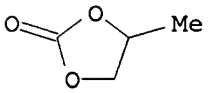
with glycerol .alpha.-chlorohydrin or propylene carbonate are substituted at either N-9 or N-7 with 2',3'-dihydroxyprop-1-yl or 2'-hydroxy-1-Pr, resp. N-3 isomers were not formed, as claimed previously. This was deduced on the basis of chem. shifts, substituent induced chem. shifts, magnitude and multiplicity of C-H couplings as well as connectivities in 2D homo- and heteronuclear correlation spectra.

IT **712-00-5P**, 9-(2-Hydroxyprop-1-yl)adenine
RL: PRP (Properties); SPN (Synthetic preparation); **PREP (Preparation)**
(one- and two-dimensional 1H and 13C NMR evidence for N-9 and N-7 regioisomers in synthesis of acyclic analogs of purine nucleosides)

RN 712-00-5 HCAPLUS

IT **108-32-7**, Propylene carbonate
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(one- and two-dimensional 1H and 13C NMR evidence for N-9 and N-7 regioisomers in synthesis of acyclic analogs of purine nucleosides)

RN 108-32-7 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 9 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:365991 HCAPLUS
DOCUMENT NUMBER: 125:115090
TITLE: Method and cyclic carbonates for nucleotide analogs
INVENTOR(S): Bischofberger, Norbert W.; Kent, Kenneth M.
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: U.S., 6 pp., Cont. of U. S. Ser. No. 71,117, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

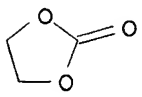
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5514798	A	19960507	US 1995-388125	19950213
US 5686629	A	19971111	US 1995-579499	19951227

PRIORITY APPLN. INFO.: US 1993-71117 19930602
US 1995-388125 19950213
OTHER SOURCE(S): MARPAT 125:115090

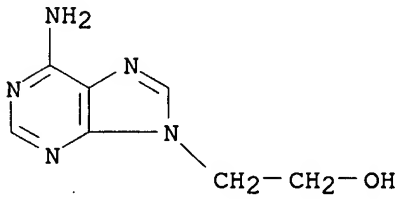
AB An improved method for the prepn. of acyclic nucleotide analogs, i.e. phosphonylmethoxyalkyl-substituted nucleoside bases, comprises first condensing a cyclic carbonate with a purine or pyrimidine base and then reacting the alkylated base with an activated phosphonate. Thus, adenine was alkylated with ethylene carbonate to give 9-(2-hydroxyethyl)adenine which was treated with di-Et toluenesulfonyloxymethylphosphonate and the product deprotected to give 9-[2-(phosphonylmethoxy)ethyl]adenine.

IT **96-49-1**, Ethylene carbonate
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(prepn. of phosphonylmethoxyalkyl-substituted nucleoside bases)

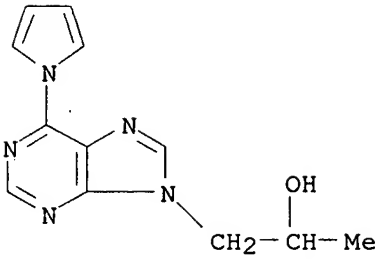
RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



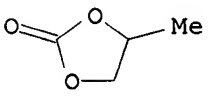
IT **707-99-3P**, 9-(2-Hydroxyethyl)adenine
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. of phosphonylmethoxyalkyl-substituted nucleoside bases)
RN 707-99-3 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



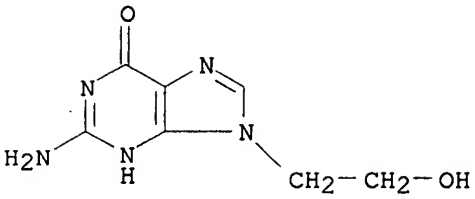
L7 ANSWER 10 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:198527 HCAPLUS
DOCUMENT NUMBER: 124:316853
TITLE: The novel 6-(N-pyrrolyl)purine acyclic nucleosides: H and 13C NMR and x-ray structural study
AUTHOR(S): Raic, S.; Pongracic, M.; Vorkapic-Furac, J.; Vikic-Topic, D.; Hergold-Brundic, A.; Nagl, A.; Mintas, M.
CORPORATE SOURCE: Dep. of Organic Chemistry, Univ. of Zagreb, Croatia
SOURCE: Nucleosides & Nucleotides (1996), 15(4), 937-60
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Synthesis of the novel nucleoside analogs contg. exocyclic pyrrolo moiety and acyclic side chains attached to the purine ring at N-9 and N-7 is described. The site of alkylation was detd. by 1H and 13C NMR on the basis of chem. shifts, C-H coupling consts. and connectivity in NOESY and HETCOR spectra. The N-9 substitution of 9-propenyl-6-(N-pyrrolyl)purine was proved by its x-ray crystallog. anal.
IT **176108-82-0P**
RL: PRP (Properties); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and spectra of 6-(N-pyrrolyl)purines)
RN 176108-82-0 HCAPLUS
CN 9H-Purine-9-ethanol, .alpha.-methyl-6-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



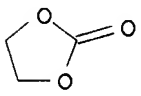
IT **108-32-7**, Propylene carbonate
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(prepn. and spectra of 6-(N-pyrrolyl)purines)
RN 108-32-7 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 11 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:21492 HCAPLUS
DOCUMENT NUMBER: 116:21492
TITLE: Synthesis of monomers containing guanine or guanine precursors as substituent groups
AUTHOR(S): Toucet, Isabel; Aponte, Maria A.
CORPORATE SOURCE: Dep. Chem., Univ. Puerto Rico, Mayaguez, 00708, P. R.
SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (1991), 29(13), 1883-8
CODEN: JPACEC; ISSN: 0887-624X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Four new monomers contg. guanine (I) or a I precursor were prepd. These were 2 isomeric acid ester derivs. of I and 2 isomeric vinyl ether derivs. of N2-acetylguanine (II). In the case of the prepn. of the I acid esters, it was necessary to prep. 1st the I alc. derivs. These N-7 and N-9 isomeric alcs. of I were sepd. by fractional crystn. Subsequent esterification of these alcs. with maleic anhydride gave the desired products. In the other case, II was alkylated with 2-chloroethyl vinyl ether to yield the N-7 and N-9 isomers. These were sepd. using flash column chromatog.
IT **23169-33-7P**, 9-(2'-Hydroxyethyl)guanine
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. and reaction of, with maleic anhydride)
RN 23169-33-7 HCAPLUS
CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



IT **96-49-1**, Ethylene carbonate
RL: **RCT (Reactant); RACT (Reactant or reagent)**
(reaction of, with acetylguanine)
RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



L7 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:231441 HCAPLUS
DOCUMENT NUMBER: 110:231441
TITLE: Dihydropyridinecarboxamides as antiallergic and antiinflammatory agents
INVENTOR(S): Cooper, Kelvin; Fray, Michael Johnathan; Richardson, Kenneth
PATENT ASSIGNEE(S): Pfizer Ltd., UK
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 294074	A1	19881207	EP 1988-304691	19880524
EP 294074	B1	19920408		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4851412	A	19890725	US 1988-198020	19880524
AT 74602	E	19920415	AT 1988-304691	19880524
ES 2034221	T3	19930401	ES 1988-304691	19880524
AU 8816712	A1	19881201	AU 1988-16712	19880527
DK 8802906	A	19881201	DK 1988-2906	19880527
HU 47933	A2	19890428	HU 1988-2708	19880527
FI 8802538	A	19881201	FI 1988-2538	19880530
FI 88797	B	19930331		
FI 88797	C	19930712		
JP 63310887	A2	19881219	JP 1988-132530	19880530
JP 05087072	B4	19931215		
CA 1302410	A1	19920602	CA 1988-568064	19880530

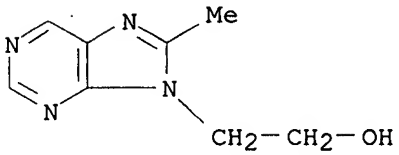
PRIORITY APPLN. INFO.: GB 1987-12747 19870530
EP 1988-304691 19880524
OTHER SOURCE(S): MARPAT 110:231441

AB Title compds. I [R = (substituted) Ph; R1, R2 = H, C1-6 alkyl; R1R2N = pyrrolidino, piperidino, morpholino, piperazino, N-alkylpiperazino, N-alkanoylpiperazino; R2 = H, C1-4 alkyl and R1 = C3-7 cycloalkyl, aryl, indanyl, heteroaryl, (substituted) C1-4 alkyl; R3 = OH, C1-6 alkoxy, aralkoxy, (substituted) amino; Y = C2-8 alkylene; X = 1-, 2-, or 3-imidazopyridyl or 1-, 2-, or 3-imidazopyrimidyl which may be substituted], useful as allergy and inflammation inhibitors (no data), are prepd. from R1R2NCOCH:CMeNH2 (II), RCHO, and R3COCH2COCH2OYX (III). Treatment of 2-methyl-1-(2-hydroxyethyl)imidazo[4,5-c]pyridine with NaH in THF under sonication for 2 h, followed by addn. of ClCH2COCH2CO2CHMe2 under sonication for 5 h gave 58% III [R3 = Me2CHO; XY = 2-[2-methyl-1-imidazo[4,5-c]pyridyl]ethyl], which was refluxed with 2-BrC6H4CHO and II (R1 = H; R2 = Me3C) in Me2CHOH to afford 35% I [R = 2-BrC6H4; R1 = H; R2 = Me3C; R3 = Me2CHO; XY = 2-[2-methyl-1-imidazo[4,5-c]pyridyl]ethyl].

IT **120887-97-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and reaction of, in prepn. of dihydropyridinecarboxamide antiallergic and antiinflammatory agents)

RN 120887-97-0 HCAPLUS

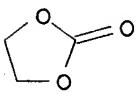
CN 9H-Purine-9-ethanol, 8-methyl- (9CI) (CA INDEX NAME)



IT **96-49-1**, Ethylene carbonate
RL: **RCT (Reactant)**
(reaction of, in prepn. of dihydropyridinecarboxamide antiallergic and antiinflammatory agent)

RN 96-49-1 HCAPLUS

CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



L7 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1986:202761 HCAPLUS

DOCUMENT NUMBER: 104:202761

TITLE: Studies on S-adenosyl-L-homocysteine hydrolase. XVI. 9-(Aminoalkyl)-8-hydroxyadenines: preparation, mechanism of formation, and use in affinity chromatography of S-adenosyl-L-homocysteine hydrolase

AUTHOR(S): Holy, Antonin; Kohoutova, Jitka; Merta, Ales; Votruba, Ivan

CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10, Czech.

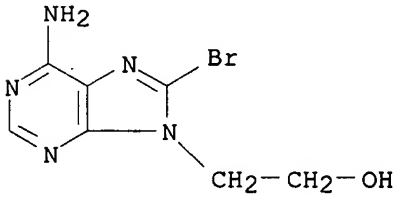
SOURCE: Collect. Czech. Chem. Commun. (1986), 51(2), 459-77

CODEN: CCCCAK; ISSN: 0366-547X
DOCUMENT TYPE: Journal
LANGUAGE: English

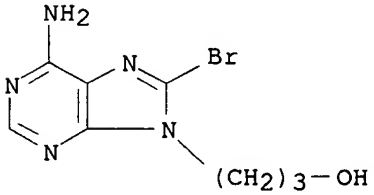
AB A series of 8-bromo-9-aminoalkyladenine derivs. were prepd. by reaction of the aminoalkyladenines with HBr and reacted with NH3 to generate intramol. 5- and 6-membered cyclic ethers. The resulting ethers were opened regiospecifically, producing 2- and 3-aminoalkyl-8-hydroxyadenines which were subsequently immobilized on CH-Sephadex 4B. The utility of these immobilized aminoalkylhydroxyadenines as media for affinity chromatog. for S-adenosyl-L-homocysteine hydrolase of rat liver was then detd.; 4 of the Sephadex-linked compds., contg. the NH2 group on the primary C, appeared suitable for enzyme chromatog. The inhibition of the enzyme by the aminoalkylhydroxyadenines was also examd.; inhibition was not particularly strong.

IT **43047-77-4P 98411-75-7P 101967-11-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and reaction with ammonia)

RN 43047-77-4 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino-8-bromo- (9CI) (CA INDEX NAME)

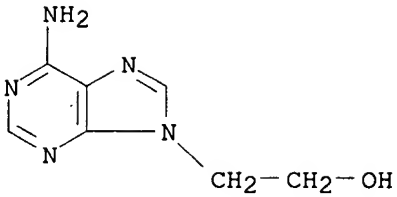


RN 98411-75-7 HCAPLUS
CN 9H-Purine-9-propanol, 6-amino-8-bromo- (9CI) (CA INDEX NAME)

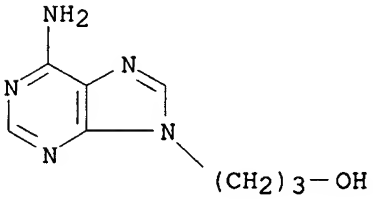


RN 101967-11-7 HCAPLUS
IT **707-99-3P 711-64-8P 14047-26-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and reaction with bromine)

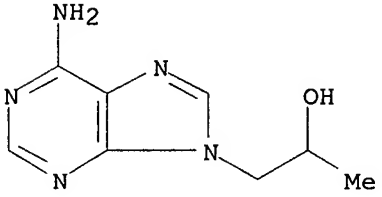
RN 707-99-3 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



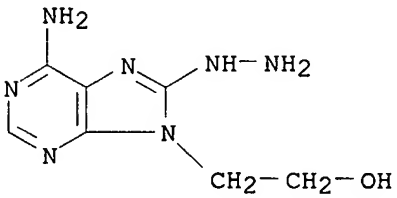
RN 711-64-8 HCAPLUS
CN 9H-Purine-9-propanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 14047-26-8 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino-.alpha.-methyl- (9CI) (CA INDEX NAME)

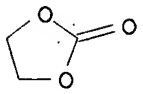


IT **101967-22-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and reaction with silver oxide)
RN 101967-22-0 HCAPLUS
CN 8H-Purin-8-one, 6-amino-7,9-dihydro-9-(2-hydroxyethyl)-, hydrazone (9CI) (CA INDEX NAME)



IT **96-49-1**
RL: **RCT (Reactant)**
(reaction of, with adenine)
RN 96-49-1 HCAPLUS

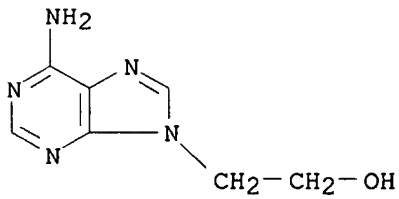
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



L7 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:537969 HCAPLUS
DOCUMENT NUMBER: 93:137969
TITLE: Functional polyurethanes and isocyanate-based polymers containing nucleic acid bases
AUTHOR(S): Yokoyama, Tetsuo; Hiraoka, Kyoko
CORPORATE SOURCE: Fac. Eng., Nagasaki Univ., Nagasaki, 852, Japan
SOURCE: Int. Prog. Urethanes (1980), 2, 13-26
CODEN: IPURD9; ISSN: 0147-0671
DOCUMENT TYPE: Journal
LANGUAGE: English

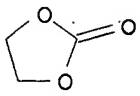
AB Two different types of the isocyanate-based polymers contg. nucleic acid bases in backbone or in pendant groups were prepd. The polymers of the first type were polyureas obtained by the polyaddn. reaction of uracil and adenine with hexamethylene diisocyanate (HMDI). The second type, i.e., cationic polyurethanes contg. nucleic acid bases in pendant groups, were obtained by the Menschutkin reaction of halogenated derivs. of uracil and adenine with a linear polyurethane contg. tertiary N atom which was based on HMDI and N-methyldiethanolamine. Base-base interactions were studied for the polymers by UV, ORD, and NMR spectra. A relatively high value of hypochromicity, .apprx.14%, was obsd. for the mixt. of the ionic polyurethane with uracil pendant and herring sperm DNA. Complementary H-bonding interaction was detected for the mixt. of the ionic polyurethane with adenine pendant and that with uracil pendant. The nonthrombogenic character of the polymers was examd. according to the modified Lee-White method. The ionic polyurethanes with adenine and uracil pendant exhibited fairly good anticlotting properties.

IT **707-99-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and bromination of)
RN 707-99-3 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

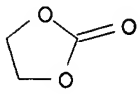


IT **96-49-1**
RL: **RCT (Reactant)**
(reaction of, with adenine)
RN 96-49-1 HCAPLUS

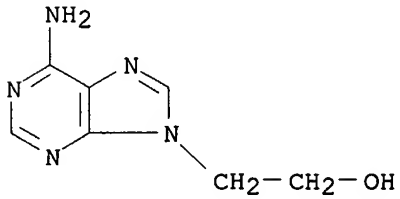
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



L7 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:509378 HCAPLUS
DOCUMENT NUMBER: 89:109378
TITLE: Hydroxyethylation of uracil, adenine, and cytosine by ethylene carbonate
AUTHOR(S): Ustyuzhanin, G. E.; Kolomeitseva, V. V.; Tikhomirova-Sidorova, N. S.
CORPORATE SOURCE: Inst. Vysokomol. Soedin., Leningrad, USSR
SOURCE: Khim. Geterotsikl. Soedin. (1978), (5), 684-9
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Treatment of uracil (I, R = R1 = H) with ethylene carbonate (II) gave a mixt. contg. I (R = R1 = H) 8, I (R = CH2CH2OH, R1 = H) 4, I (R = H, R1 = CH2CH2OH) (III) 47, and I (R = R1 = CH2CH2OH) 41%, which was chromatographed to give 40% III. Treatment of adenine (IV, R = H) with II gave 80% IV (R = CH2CH2OH) and also the 3-(hydroxyethyl) isomer. Treatment of cytosine (V, R = H) with II gave a mixt. contg. V (R = H) 13 and V (R = CH2CH2OH) (VI) 87% which was chromatographed to yield 70% VI.
IT **96-49-1**
RL: **RCT (Reactant)**
(hydroxyethylation of nucleoside bases with)
RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



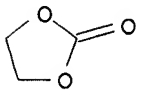
IT **707-99-3P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of)
RN 707-99-3 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



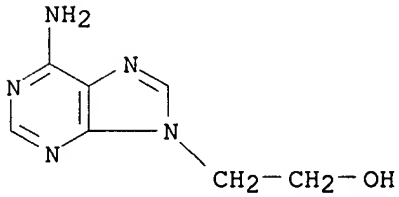
L7 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:551817 HCAPLUS
DOCUMENT NUMBER: 87:151817
TITLE: Synthetic studies with carbonates. Part 6. Syntheses of 2-hydroxyethyl derivatives by reactions of ethylene carbonate with carboxylic acids or heterocycles in the presence of tetraethylammonium halides or under autocatalytic conditions
AUTHOR(S): Yoshino, Teruo; Inaba, Shigeru; Komura, Hajime; Ishido, Yoshiharu
CORPORATE SOURCE: Dep. Chem., Int. Christ. Univ., Tokyo, Japan
SOURCE: J. Chem. Soc., Perkin Trans. 1 (1977), (11), 1266-72
CODEN: JCPRB4
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Et4N+ I- catalyzed reactions of ethylene carbonate (I) with carboxylic acids gave 2-hydroxyethyl esters and the diesters arising from disproportionation of the former products. E.g., I with BzOH and Et4N+ I- at 150-5.degree. for 0.3 h gave 69.5% BzO(CH2)2OH and 22% (BzOCH2)2. The autocatalytic reactions of I with strong carboxylic acids at elevated temps. gave ethylene glycol diesters selectively. Reaction mechanisms are discussed. I or propylene carbonate with acid anhydrides or active acyl compds. in the presence of Et4N+ I- gave alkylene glycol diesters or 2-acyloxyalkyl aryl ethers in high yields. I with heterocyclic compds. contg. an acidic imino H atom, e.g. imidazole, adenine, 2-hydroxypyridine, with or without catalyst gave the corresponding N-2-hydroxyethyl derivs.

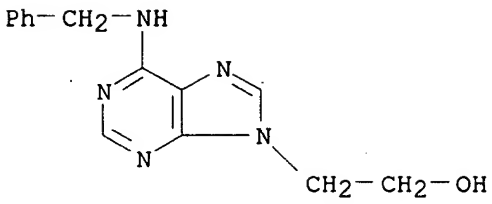
IT **96-49-1**
RL: **RCT (Reactant)**
(hydroxyethylation by, of carboxylic acids and heterocycles)
RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



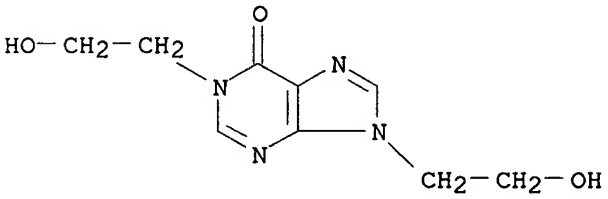
IT **707-99-3P 64330-86-5P 64330-87-6P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of)
RN 707-99-3 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



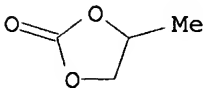
RN 64330-86-5 HCAPLUS
CN 9H-Purine-9-ethanol, 6-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 64330-87-6 HCAPLUS
CN 6H-Purin-6-one, 1,9-dihydro-1,9-bis(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



IT **108-32-7**
RL: **RCT (Reactant)**
(reactions of, with acetic anydride, nitrophenyl acetate, and phthalimide)
RN 108-32-7 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:514815 HCAPLUS
DOCUMENT NUMBER: 83:114815
TITLE: .beta.-Hydroxyalkylation with ethylene carbonates in the presence of tetraalkylammonium halides
INVENTOR(S): Ishido, Yoshiharu; Yoshino, Teruo; Inaba, Shigeru; Komura, Hajime
PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan
SOURCE: Japan. Kokai, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

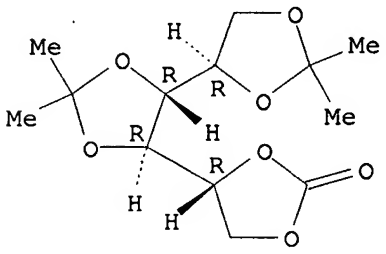
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50004012	A2	19750116	JP 1972-126457	19721216

AB Ethylene carbonates or sugar alc. cyclic carbonates I (R = H, alkyl, sugar

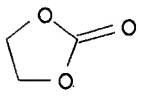
alc. group) were treated with imines (e.g. pyrimidines, purines, imidazoles, 2-hydroxypyrimidines, and imides), phenols, carboxylic acids, acid anhydrides, or active acyl compds. in the presence of tetraalkylammonium halides to give .beta.-hydroxyalkyl derivs. R2CH2CH(OR1)R (R2 = moieties of the imines, phenols, or carboxylic acids; R1 = H, acyl). Thus, a mixt. of 3,4;5,6-di-O-isopropylidene-D-mannitol 5,6-carbonate 10, theophylline 11, and Et4NBr 2.5 millimoles in 10 ml DMF was heated at 150-70.degree. to give 75% 7-(3,4;5,6-di-O-isopropylidene-D-mannit-1-yl)theophylline. Heating with HCl-MeOH for 10 hr gave 73% 7-(D-mannit-1-yl)theophylline. Among 32 more products prepd. were N-(2-hydroxyethyl)succinimide, 2-phenoxyethanol, propylene glycol di-O-acetate, and .beta.-acetoxyethylimidazole (from acetylimidazole and ethylene carbonate).

IT **41106-79-0**
RL: **RCT (Reactant)**
(hydroxyalkylation of theophylline by)
RN 41106-79-0 HCAPLUS
CN D-Mannitol, 1,2:3,4-bis-O-(1-methylethylidene)-, cyclic carbonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

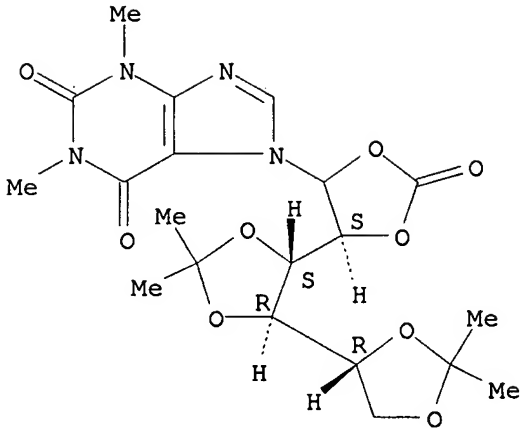


IT **96-49-1**
RL: **RCT (Reactant)**
(hydroxyethylation of acetylimidazole by)
RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)

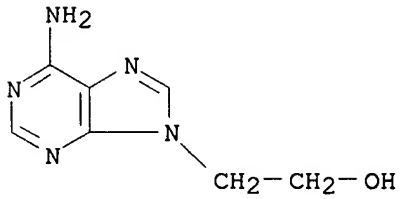


IT **57027-65-3P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)
RN 57027-65-3 HCAPLUS
CN D-Mannitol, 1,2:3,4-bis-O-(1-methylethylidene)-6-C-(1,2,3,6-tetrahydro-1,3-dimethyl-2,6-dioxo-7H-purin-7-yl)-, cyclic 5,6-carbonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

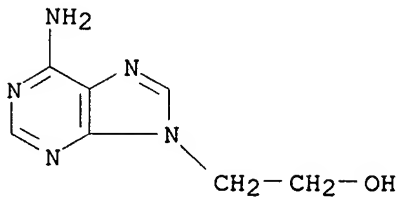


IT **707-99-3P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of)
RN 707-99-3 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

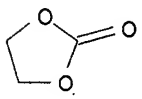


L7 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:3468 HCAPLUS
DOCUMENT NUMBER: 80:3468
TITLE: Reaction of uracil and adenine with ethylene carbonate
AUTHOR(S): Ustyuzhanin, G. E.; Kolomeitseva, V. V.; Tikhomirova-Sidorova, N. S.
CORPORATE SOURCE: Inst. Vysokomol. Soedin., Leningrad, USSR
SOURCE: Zh. Obshch. Khim. (1973), 43(9), 2093-4
CODEN: ZOKHA4
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Ethylene carbonate reacts with uracil to give 1-(2-hydroxyethyl)uracil and 1,3-bis(2-hydroxyethyl)uracil. At intermediate stages, 3-(2-hydroxyethyl)uracil is also present, the concn. of which reaches a max. of 16% and then declines. The reaction mixt. was analyzed by paper electrophoresis at pH 11 and the 1- and 3-substituted isomers were sepd. by their different mobilities, the 3-isomer being the slower moving. These were characterized by absorption spectra. Similar reaction of adenine gave both 3- and 9-(2-hydroxyethyl)adenines which were identified after sepn. as above.
IT **707-99-3P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of)

RN 707-99-3 HCAPLUS
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



IT **96-49-1**
RL: **RCT (Reactant)**
(reaction of, with uracil and with adenine)
RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (9CI) (CA INDEX NAME)



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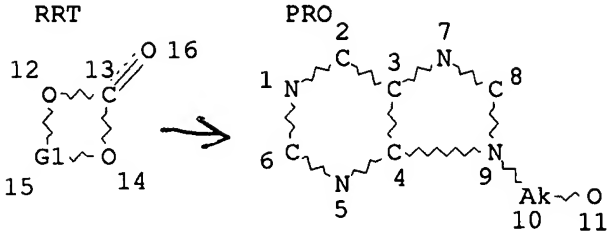


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NODE ATTRIBUTES:
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CONNECT IS E1  RC AT  11
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
L22           2 SEA FILE=CASREACT SSS FUL L20 (     4 REACTIONS)
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Solvent ignored

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L22  ANSWER 1 OF 2  CASREACT  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         135:137342  CASREACT
TITLE:                    Preparation of 9-(2-hydroxyalkyl)purines or
                          1-(2-hydroxyalkyl)pyrimidines
INVENTOR(S):              Yaegashi, Keisuke; Furukawa, Yoshiaki; Yoshimoto,
                          Hiroshi
PATENT ASSIGNEE(S):       Daiso Co., Ltd., Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 6 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     JP 2001213867      A2   20010807       JP 2000-18777    20000127
OTHER SOURCE(S):          MARPAT 135:137342
GI


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   Title compds. I [Y = CR1R2CR3R4OH; R1-R4 = H, (un)substituted alkyl,
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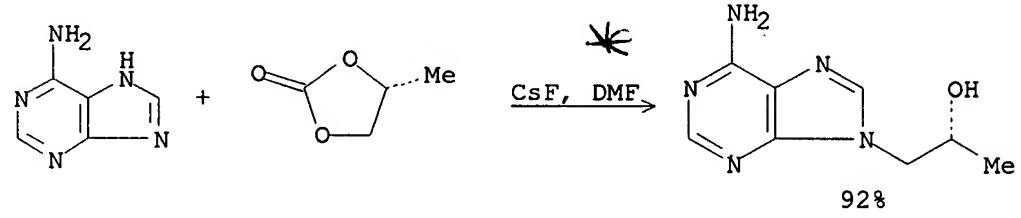
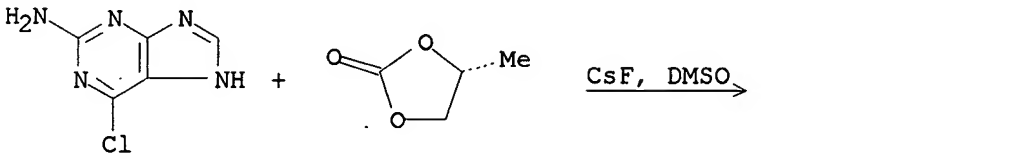
aralkyl, aryl, alkoxy; X1-X3 = H, halo, amino, OH, alkyl, alkoxy, alkylthio, etc.] or II (Y, R1-R4, = same as above; X4-X6 = H, halo, amino, OH, alkyl, alkoxy, alkylthio, etc.) are prepd. by reaction of 1,3-dioxolan-2-ones III (R1-R4 = same as above) with purines I (Y = H; X1-X3 = same as above) or pyrimidines II (Y = H; X4-X6 = same as above) in the presence of F salts. Adenine was reacted with (R)-propylene carbonate in the presence of CsF in DMF at 140.degree. for 9 h to give 92% (R)-9-(2-hydroxypropyl)adenine.

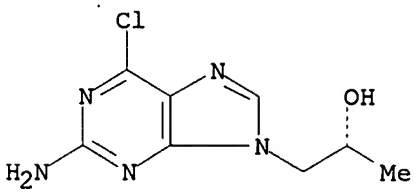
RX(1) OF 11



92%

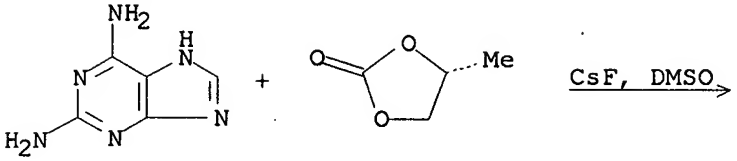
RX(2) OF 11

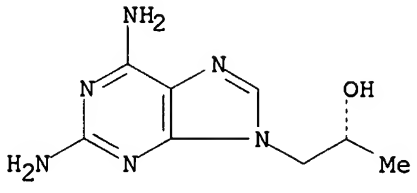




89%

RX(3) OF 11

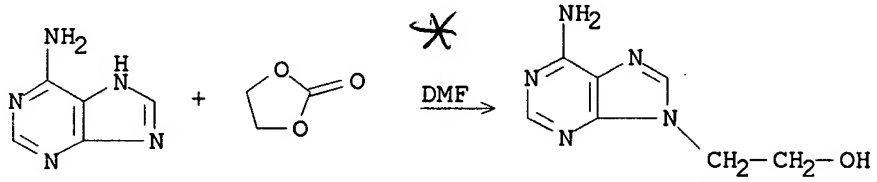




91%

L22 ANSWER 2 OF 2 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 73:25332 CASREACT
TITLE: Vinyl compounds and other monomers containing heterocyclic moieties of nucleic acids
AUTHOR(S): Imoto, Minoru; Takemoto, Kiichi
CORPORATE SOURCE: Fac. Eng., Osaka City Univ., Osaka, Japan
SOURCE: Synthesis (1970), 2(4), 173-9
CODEN: SYNTBF
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB Prepn. and polymn. of N-vinyl and related compds., epoxy compds., and amino acids, all of which contain nucleic bases, are reviewed with 21 refs.

RX(2) OF 2



54%

NOTE: Classification: N-Alkylation; Decarboxylation; Ring cleavage; #
Conditions: (NaOH) DMF Rf 1h